

to about 20 carbon atoms, substituted or unsubstituted alkenyl having from 2 to about 20 carbon atoms, substituted or unsubstituted alkynyl having from 2 to about 20 carbon atoms, substituted or unsubstituted carbocyclic aryl having at least about 5 ring atoms, substituted or unsubstituted alkoxy having from 1 to about 20 carbon atoms, substituted or unsubstituted aminoalkyl having from 1 to about 20 carbon atoms, substituted or unsubstituted alkylthio having from 1 to about 20 carbon atoms, substituted or unsubstituted alkylsulfinyl having from 1 to about 20 carbon atoms, substituted or unsubstituted aralkyl having at least about 5 ring atoms, or a substituted or unsubstituted heteroaromatic or heteroalicyclic group having from 1 to 3 rings, 3 to 8 ring members in each ring and from 1 to 3 hetero atoms; and pharmaceutically acceptable salts thereof.

26. A method of claim 25 wherein at least one of R and R¹ is substituted or unsubstituted carbocyclic aryl or substituted or unsubstituted aralkyl.

27. A method of claim 25 wherein both R and R¹ are substituted or unsubstituted carbocyclic aryl or substituted or unsubstituted aralkyl.

28. A method of claim 25 wherein both R and R¹ are substituted or unsubstituted carbocyclic aryl.

29. A method of claim 25 wherein R and R¹ are substituted or unsubstituted phenyl, substituted or unsubstituted naphthyl or substituted or unsubstituted benzyl.

30. A method of claim 25 wherein the compound is selected from the group consisting of:

N-(4-*sec*-butylphenyl)-N-benzylguanidine;

N-(5-acenaphthyl)-N-benzylguanidine;

N-(3-acenaphthyl)-N-benzylguanidine;

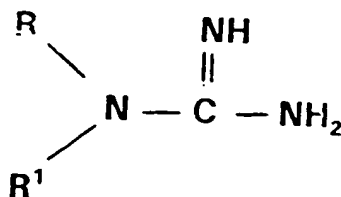
N-(5-acenaphthyl)-N-(4-*isopropyl*benzyl)guanidine;

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N-(3-acenaphthyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(4-cyclohexylphenyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(4-cyclohexylphenyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(2-fluorenyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(4-*sec-butylphenyl*)-N-(cinnamylmethylene)guanidine;
N-(4-*n-butoxyphenyl*)-N-(4-*tert-butylbenzyl*)guanidine;
N-(3-biphenyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(5-indanyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(3-trifluoromethoxyphenyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(4-*sec-butylphenyl*)-N-(4-*tert-butylbenzyl*)guanidine;
N-(5-acenaphthyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(3-acenaphthyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(methoxy-1-naphthyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(1-naphthyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(3-iodophenyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(4-chloro-1-naphthyl)-N-(4-*tert-benzyl*)guanidine;
N-(4-*tert-butylphenyl*)-N-(4-*tert-butylbenzyl*)guanidine;
N-(4-iodophenyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(1-naphthylmethyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(5-acenaphthyl)-N-(3-phenoxybenzyl)guanidine;
N-(3-trifluoromethylphenyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(3-methylthiophenyl)-N-(4-*tert-butylbenzyl*)guanidine;
N-(5-acenaphthyl)-N-(3-iodobenzyl)guanidine;
N-(5-acenaphthyl)-N-(cinnamyl)guanidine;
N-(5-acenaphthyl)-N-(4-iodobenzyl)guanidine;
N-(5-acenaphthyl)-N-(4-trifluoromethoxybenzyl)guanidine;
and pharmaceutically acceptable salts thereof.

31. A method of any one of claims 25 through 30 wherein the mammal is suffering from a neurodegenerative disorder.

32. A method for treating a mammal suffering from or susceptible to a neurodegenerative disease, comprising administering to the mammal an effective amount of a compound of the following formula



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wherein R and R¹ are each independently substituted or unsubstituted alkyl having from 1 to about 20 carbon atoms, substituted or unsubstituted alkenyl having from 2 to about 20 carbon atoms, substituted or unsubstituted alkynyl having from 2 to about 20 carbon atoms, substituted or unsubstituted carbocyclic aryl having at least about 5 ring atoms, substituted or unsubstituted alkoxy having from 1 to about 20 carbon atoms, substituted or unsubstituted aminoalkyl having 1 to about 20 carbon atoms, substituted or unsubstituted alkylthio having from 1 to about 20 carbon atoms, substituted or unsubstituted alkylsulfinyl having from 1 to about 20 carbon atoms, substituted or unsubstituted aralkyl having at least about 5 ring atoms, or a substituted or unsubstituted heteroaromatic or heteroalicyclic group having from 1 to 3 rings, 3 to 8 ring members in each ring and from 1 to 3 hetero atoms; and pharmaceutically acceptable salts thereof.

33. A method of claim 32 wherein at least one of R and R¹ is substituted or unsubstituted carbocyclic aryl or substituted or unsubstituted aralkyl.

34. A method of claim 32 wherein both R and R¹ are substituted or unsubstituted carbocyclic aryl or substituted or unsubstituted aralkyl.

35. A method of claim 32 wherein both R and R¹ are substituted or unsubstituted carbocyclic aryl.

36. A method of claim 32 wherein R and R¹ are substituted or unsubstituted phenyl, substituted or unsubstituted naphthyl or substituted or unsubstituted benzyl.

37. A method of claim 32 wherein the compound is selected from the group consisting of:

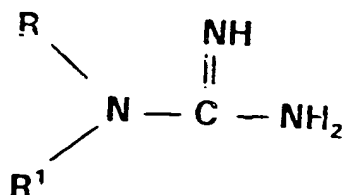
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N-(4-*sec*-butylphenyl)-N-benzylguanidine;
N-(5-acenaphthyl)-N-benzylguanidine;
N-(3-acenaphthyl)-N-benzylguanidine;
N-(5-acenaphthyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(3-acenaphthyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(4-cyclohexylphenyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(4-cyclohexylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(2-fluorenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-*sec*-butylphenyl)-N-(cinnamylmethylene)guanidine;
N-(4-*n*-butoxyphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-biphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-indanyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-trifluoromethoxyphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-*sec*-butylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-acenaphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(methoxy-1-naphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(1-naphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-iodophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-chloro-1-naphthyl)-N-(4-*tert*-benzyl)guanidine;
N-(4-*tert*-butylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;

N-(4-iodophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(1-naphthylmethyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(3-phenoxybenzyl)guanidine;
N-(3-trifluoromethylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-methylthiophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(3-iodobenzyl)guanidine;
N-(5-acenaphthyl)-N-(cinnamyl)guanidine;
N-(5-acenaphthyl)-N-(4-iodobenzyl)guanidine;
N-(5-acenaphthyl)-N-(4-trifluoromethoxybenzyl)guanidine;
and pharmaceutically acceptable salts thereof.

38. A method of any one of claims 32 through 37 wherein the neurodegenerative disease is Parkinson's disease, Huntington's disease, Amyotrophic Lateral Sclerosis, Alzheimer's disease, Down's Syndrome, Korsakoff's disease, olivopontocerebellar atrophy, HIV-induced dementia or blindness, multi-infarct dementia or diabetic neuropathy.

39. A method of treating a disease in which the pathophysiology of the disease involves inappropriate cellular secretion comprising administering to a mammal suffering from or susceptible to the disease an effective amount of a compound of the following formula



wherein R and R¹ are each independently substituted or unsubstituted alkyl having from 1 to about 20 carbon atoms, substituted or unsubstituted alkenyl having from 2 to about 20 carbon atoms, substituted or unsubstituted alkynyl having from 2 to about 20 carbon atoms, substituted or unsubstituted carbocyclic aryl having at least about 5 ring atoms, substituted or unsubstituted alkoxy having from 1 to about 20 carbon atoms, substituted or unsubstituted aminoalkyl having 1

to about 20 carbon atoms, substituted or unsubstituted alkylthio having from 1 to about 20 carbon atoms, substituted or unsubstituted alkylsulfinyl having from 1 to about 20 carbon atoms, substituted or unsubstituted aralkyl having at least about 5 ring atoms, or a substituted or unsubstituted heteroaromatic or heteroalicyclic group having from 1 to 3 rings, 3 to 8 ring members in each ring and from 1 to 3 hetero atoms; and pharmaceutically acceptable salts thereof.

40. A method of claim 39 wherein at least one of R and R¹ is substituted or unsubstituted carbocyclic aryl or substituted or unsubstituted aralkyl.

41. A method of claim 39 wherein both R and R¹ are substituted or unsubstituted carbocyclic aryl or substituted or unsubstituted aralkyl.

42. A method of claim 39 wherein both R and R¹ are substituted or unsubstituted carbocyclic aryl.

43. A method of claim 39 wherein R and R¹ are substituted or unsubstituted phenyl, substituted or unsubstituted naphthyl or substituted or unsubstituted benzyl.

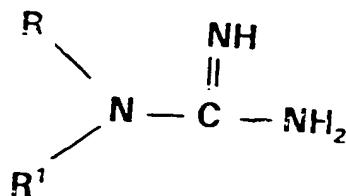
44. A method of claim 39 wherein the compound is selected from the group consisting of:

N-(4-*sec*-butylphenyl)-N-benzylguanidine;
N-(5-acenaphthyl)-N-benzylguanidine;
N-(3-acenaphthyl)-N-benzylguanidine;
N-(5-acenaphthyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(3-acenaphthyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(4-cyclohexylphenyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(4-cyclohexylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(2-fluorenyl)-N-(4-*tert*-butylbenzyl)guanidine;

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N-(4-*sec*-butylphenyl)-N-(cinnamylmethylene)guanidine;
N-(4-*n*-butoxyphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-biphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-indanyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-trifluoromethoxyphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-*sec*-butylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-acenaphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(methoxy-1-naphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(1-naphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-iodophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-chloro-1-naphthyl)-N-(4-*tert*-benzyl)guanidine;
N-(4-*tert*-butylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-iodophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(1-naphthylmethyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(3-phenoxybenzyl)guanidine;
N-(3-trifluoromethylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-methylthiophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(3-iodobenzyl)guanidine;
N-(5-acenaphthyl)-N-(cinnamyl)guanidine;
N-(5-acenaphthyl)-N-(4-iodobenzyl)guanidine;
N-(5-acenaphthyl)-N-(4-trifluoromethoxybenzyl)guanidine;
and pharmaceutically acceptable salts thereof.

45. A method of modulating the release of excess endogenous neurotransmitters from a mammal comprising administering to the mammal an effective amount of a compound of the following formula



wherein R and R¹ are each independently substituted or unsubstituted alkyl having from 1 to about 20 carbon atoms, substituted or unsubstituted alkenyl having from 2 to about 20 carbon atoms, substituted or unsubstituted alkynyl having from 2 to about 20 carbon atoms, substituted or unsubstituted carbocyclic aryl having at least about 5 ring atoms, substituted or unsubstituted alkoxy having from 1 to about 20 carbon atoms, substituted or unsubstituted aminoalkyl having from 1 to about 20 carbon atoms, substituted or unsubstituted alkylthio having from 1 to about 20 carbon atoms, substituted or unsubstituted alkylsulfinyl having from 1 to about 20 carbon atoms, substituted or unsubstituted aralkyl having at least about 5 ring atoms, or a substituted or unsubstituted heteroaromatic or heteroalicyclic group having from 1 to 3 rings, 3 to 8 ring members in each ring and from 1 to 3 hetero atoms; and pharmaceutically acceptable salts thereof.

46. A method of claim 45 wherein at least one of R and R¹ is substituted or unsubstituted carbocyclic aryl or substituted or unsubstituted aralkyl.

47. A method of claim 45 wherein both R and R¹ are substituted or unsubstituted carbocyclic aryl or substituted or unsubstituted aralkyl.

48. A method of claim 45 wherein both R and R¹ are substituted or unsubstituted carbocyclic aryl.

49. A method of claim 45 wherein R and R¹ are substituted or unsubstituted phenyl, substituted or unsubstituted naphthyl or substituted or unsubstituted benzyl.

50. A method of claim 45 wherein the compound is selected from the group consisting of:

N-(4-*sec*-butylphenyl)-N-benzylguanidine;

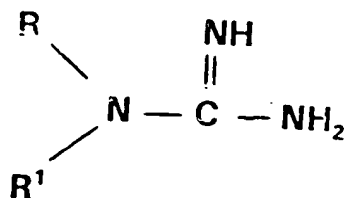
N-(5-acenaphthyl)-N-benzylguanidine;

N-(3-acenaphthyl)-N-benzylguanidine;

N-(5-acenaphthyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(3-acenaphthyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(4-cyclohexylphenyl)-N-(4-*isopropylbenzyl*)guanidine;
N-(4-cyclohexylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(2-fluorenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-*sec*-butylphenyl)-N-(cinnamylmethylene)guanidine;
N-(4-*n*-butoxyphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-biphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-indanyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-trifluoromethoxyphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-*sec*-butylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-acenaphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(methoxy-1-naphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(1-naphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-iodophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-chloro-1-naphthyl)-N-(4-*tert*-benzyl)guanidine;
N-(4-*tert*-butylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-iodophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(1-naphthylmethyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(3-phenoxybenzyl)guanidine;
N-(3-trifluoromethylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-methylthiophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(3-iodobenzyl)guanidine;
N-(5-acenaphthyl)-N-(cinnamyl)guanidine;
N-(5-acenaphthyl)-N-(4-iodobenzyl)guanidine;
N-(5-acenaphthyl)-N-(4-trifluoromethoxybenzyl)guanidine;
and pharmaceutically acceptable salts thereof.

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51. A method of treating a disease of the cardiovascular system comprising administering to a mammal suffering from or susceptible to a cardiovascular disease an effective amount of a compound of the following formula



wherein R and R¹ are each independently substituted or unsubstituted alkyl having from 1 to about 20 carbon atoms, substituted or unsubstituted alkenyl having from 2 to about 20 carbon atoms, substituted or unsubstituted alkynyl having from 2 to about 20 carbon atoms, substituted or unsubstituted carbocyclic aryl having at least about 5 ring atoms, substituted or unsubstituted alkoxy having from 1 to about 20 carbon atoms, substituted or unsubstituted aminoalkyl having from 1 to about 20 carbon atoms, substituted or unsubstituted alkylthio having from 1 to about 20 carbon atoms, substituted or unsubstituted alkylsulfinyl having from 1 to about 20 carbon atoms, substituted or unsubstituted aralkyl having at least about 5 ring atoms, or a substituted or unsubstituted heteroaromatic or heteroalicyclic group having from 1 to 3 rings, 3 to 8 ring members in each ring and from 1 to 3 hetero atoms; and pharmaceutically acceptable salts thereof.

52. A method of claim 51 wherein at least one of R and R¹ is substituted or unsubstituted carbocyclic aryl or substituted or unsubstituted aralkyl.

53. A method of claim 51 wherein both R and R¹ are substituted or unsubstituted carbocyclic aryl or substituted or unsubstituted aralkyl.

54. A method of claim 51 wherein both R and R¹ are substituted or unsubstituted carbocyclic aryl.

55. A method of claim 51 wherein R and R¹ are substituted or unsubstituted phenyl, substituted or unsubstituted naphthyl or substituted or unsubstituted benzyl.

56. A method of claim 51 wherein the compound is selected from the group consisting of:

N-(4-*sec*-butylphenyl)-N-benzylguanidine;
N-(5-acenaphthyl)-N-benzylguanidine;
N-(3-acenaphthyl)-N-benzylguanidine;
N-(5-acenaphthyl)-N-(4-*isopropyl*benzyl)guanidine;
N-(3-acenaphthyl)-N-(4-*isopropyl*benzyl)guanidine;
N-(4-cyclohexylphenyl)-N-(4-*isopropyl*benzyl)guanidine;
N-(4-cyclohexylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(2-fluorenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-*sec*-butylphenyl)-N-(cinnamylmethylene)guanidine;
N-(4-*n*-butoxyphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-biphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-indanyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-trifluoromethoxyphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-*sec*-butylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-acenaphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(methoxy-1-naphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(1-naphthyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(3-iodophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-chloro-1-naphthyl)-N-(4-*tert*-benzyl)guanidine;
N-(4-*tert*-butylphenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(4-iodophenyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(1-naphthylmethyl)-N-(4-*tert*-butylbenzyl)guanidine;
N-(5-acenaphthyl)-N-(3-phenoxybenzyl)guanidine;

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